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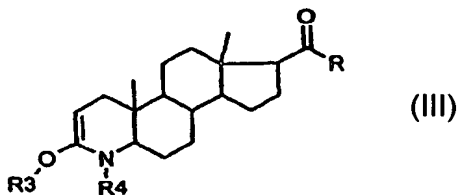
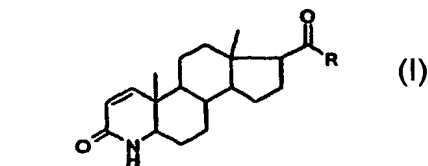
Veröffentlicht:

— mit internationalem Recherchenbericht

Zur Erklärung der Zweibuchstaben-Codes und der anderen Ab-  
kürzungen wird auf die Erklärungen ("Guidance Notes on Co-  
des and Abbreviations") am Anfang jeder regulären Ausgabe der  
PCT-Gazette verwiesen.

(54) Title: METHOD FOR INTRODUCING A 1,2 DOUBLE BOND INTO 3-OXO-4-AZASTEROID COMPOUNDS

(54) Bezeichnung: VERFAHREN ZUR EINFÜHRUNG EINER 1,2-DOPPELBINDUNG BEI 3-OXO-4-AZASTEROIDVERBIN-  
DUNGEN



(57) Abstract: The invention relates to a method for producing 17β-substituted 4-aza-androst-1-ene-3-one compounds of the general formula (I), or a pharmaceutically approved salt thereof by (A) introducing protective groups into the 3-keto-4-aza group of the corresponding 1,2-dihydro compound, thereby producing a compound of the general formula (III), (B) reacting the compound so obtained in the presence (i) of a dehydration catalyst, and in the presence of (ii) optionally substituted benzoquinone, allylethylcarbonate and/or allylpropylcarbonate, and, (C) removing the protective groups R<sub>3</sub> and R<sub>4</sub> and optionally converting the compound so obtained to a salt.

(57) Zusammenfassung: Verfahren zur Herstellung von 17β-substituierten 4-Aza-androst-1-en-3-on-Verbindungen der allgemeinen Formel (I), oder einem pharmazeutisch zugelassenen Salz derselben, indem man (A) in die 3-Keto-4-aza-Gruppierung der entsprechenden 1,2-Dihydroverbindung Schutzgruppen einführt, so dass eine Verbindung der allgemeinen Formel (III) entsteht; (B) die erhaltene Verbindung in Gegenwart (i) eines Dehydrierungskatalysators, und in Gegenwart von (ii) gegebenenfalls substituiertem Benzochinon, Allylpropylcarbonat, umsetzt; und, (C) die Schutzgruppen R<sub>3</sub> und R<sub>4</sub> entfernt und gegebenenfalls die erhaltene Verbindung in ein Salz umwandelt.

WO 2004/007523 A1

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/CH 03/00435

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 C07J3/00

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07J

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data, WPI Data, PAJ

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 0 298 652 A (MERCK & CO INC) 11 January 1989 (1989-01-11) examples 1-9, 12-37	1-21
Y	EP 0 428 366 A (MERCK & CO INC) 22 May 1991 (1991-05-22) example 1	1-21
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☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

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\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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Y	US 5 710 342 A (IMRE LASZLO ET AL) 20 January 1998 (1998-01-20) column 4, line 2	1-21
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Information on patent family members

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